

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS	6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS	7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS	8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS	9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS	10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS	11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS	12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS	13	OCT 19	E-mail format enhanced
NEWS	14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS	15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS	17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS	18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS	19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS	20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	21	NOV 13	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS	22	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS	23	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS	24	NOV 20	CA/CAplus patent kind codes will be updated
NEWS	25	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS EXPRESS			NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8
NEWS X25			X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:49:07 ON 04 DEC 2006

=>

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 17:49:44 ON 04 DEC 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 DEC 2006 HIGHEST RN 914612-67-2

DICTIONARY FILE UPDATES: 3 DEC 2006 HIGHEST RN 914612-67-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

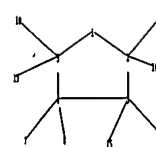
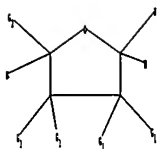
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\11048659generic.str



chain nodes :  
 7 8 10 11 12 13 14 15  
 ring nodes :  
 1 2 3 4 5  
 chain bonds :  
 2-12 2-14 3-11 3-15 4-7 4-8 5-10 5-13  
 ring bonds :  
 1-2 1-5 2-3 3-4 4-5  
 exact/norm bonds :  
 1-2 1-5 2-3 2-12 3-4 3-11 3-15 4-5 4-7 4-8 5-10  
 exact bonds :  
 2-14 5-13

G1:C,H,O

G2:C,O

Match level :

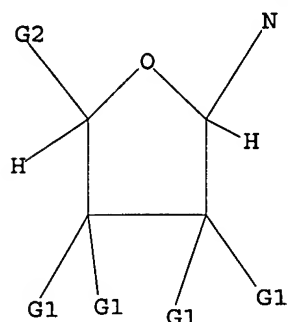
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS  
 12:CLASS 13:CLASS 14:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1,C,H,O

G2 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:50:06 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 13671 TO ITERATE

14.6% PROCESSED 2000 ITERATIONS

14 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 266416 TO 280424

PROJECTED ANSWERS: 1327 TO 2499

L2 14 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 17:50:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 275980 TO ITERATE

100.0% PROCESSED 275980 ITERATIONS

2521 ANSWERS

SEARCH TIME: 00.00.02

L3 2521 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 17:50:16 ON 04 DEC 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 4 Dec 2006 VOL 145 ISS 24  
FILE LAST UPDATED: 3 Dec 2006 (20061203/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s l3/thu

1335 L3  
835303 THU/RL  
L4 51 L3/THU  
(L3 (L) THU/RL)

=> d l4 1-51 ti

L4 ANSWER 1 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing platinum compounds and/or their synergistic agents from taxane, alkylating agent and/or plant alkaloid

L4 ANSWER 2 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing platinum compounds and their synergistic agents from anti-mitotic drugs or alkylating agents

L4 ANSWER 3 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing estrogen receptor antagonist and its synergistic agent from taxanes, alkylating agents and/or plant alkaloids

L4 ANSWER 4 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing anti-metabolic antitumor drug and/or its synergistic agent from alkylating agent and/or guanine analogs

L4 ANSWER 5 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing vascular inhibitor and/or its synergistic agent from taxanes, alkylating agents and/or plant alkaloids

L4 ANSWER 6 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing vascular inhibitor

L4 ANSWER 7 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing platinum drug and/or its synergistic agent

L4 ANSWER 8 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing methotrexate synergistic agent

L4 ANSWER 9 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Antitumor sustained-release injection containing 5-fluorouracil

L4 ANSWER 10 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Manufacture of antitumor sustained-release injection containing taxane

L4 ANSWER 11 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN

TI Preparation of nucleoside analogs for treating or preventing diseases associated with nonsense mutations of mRNA

L4 ANSWER 12 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Pharmaceutical composition containing angiogenesis inhibitor for treating solid tumor

L4 ANSWER 13 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Manufacture of drug composition containing angiogenesis inhibitor for treating tumor

L4 ANSWER 14 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Composition comprising nitric oxide synthase inhibitor and/or glutathione synthetase inhibitor for treatment of tumor

L4 ANSWER 15 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Anticancer implant composition comprising nitrosourea

L4 ANSWER 16 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Anticancer implant composition for tumor local treatment

L4 ANSWER 17 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Sustained-release antitumor implant

L4 ANSWER 18 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI In vivo antitumor activity of clitocine, an exocyclic amino nucleoside isolated from *Lepista inversa*

L4 ANSWER 19 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Combined anticancer medicines containing pyrimidine analogs and nitrosourea drugs

L4 ANSWER 20 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Combined antitumor medicines containing guanine analogs and nitrosourea drugs for the treatment of solid tumors

L4 ANSWER 21 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Manufacture of anticancer medicinal composition containing topoisomerase inhibitors

L4 ANSWER 22 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Manufacture of anticancer medicinal composition containing tetrazines

L4 ANSWER 23 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI VISCAN: Visualized Cluster Analysis of Protein-Ligand Interaction Based on the ab Initio Fragment Molecular Orbital Method for Virtual Ligand Screening

L4 ANSWER 24 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Tiotropium-containing inhalant powder packaged in an inhaler with moisture-tight sealing

L4 ANSWER 25 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Pre-metered dry powder inhaler for moisture-sensitive medicaments, such as tiotropium

L4 ANSWER 26 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Medical product containing tiotropium

L4 ANSWER 27 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Inhalable tiotropium and container therefor

L4 ANSWER 28 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)

L4 ANSWER 29 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI QSAR for anti-RNA-virus activity, synthesis, and assay of anti-RSV carbonucleosides given a unified representation of spectral moments, quadratic, and topologic indices

L4 ANSWER 30 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Incorporating Protein Flexibility in Structure-Based Drug Discovery: Using HIV-1 Protease as a Test Case

L4 ANSWER 31 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders

L4 ANSWER 32 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Use of nucleoside compounds for nonsense suppression and the treatment of genetic diseases

L4 ANSWER 33 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Preparation of nucleoside analogs and their use for treating cancer and diseases associated with somatic mutations of mRNA

L4 ANSWER 34 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Evaluation of designed ligands by a multiple screening method: application to glycogen phosphorylase inhibitors constructed with a variety of approaches

L4 ANSWER 35 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Preparation of bicyclic peptide tachykinin NK2 antagonists.

L4 ANSWER 36 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Cytotoxicity and metabolism of 4-methoxy-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine in HCT 116 colon cancer cells

L4 ANSWER 37 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Method of determination of the structure of adenosine analogs and related compounds and compounds determined or formed by the method

L4 ANSWER 38 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Inhibition of 5-phosphoribosyl-1-pyrophosphate synthetase by the monophosphate metabolite of 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine: A novel mechanism for antitumor activity

L4 ANSWER 39 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI The problem of the quiescent cancer cell

L4 ANSWER 40 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Structural mimicry of adenosine by the antitumor agents 4-methoxy- and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine as viewed by a molecular modeling method

L4 ANSWER 41 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Inhibition of phosphoribosylpyrophosphate synthetase by 4-methoxy- (MRPP) and 4-amino-8-(D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (ARPP)

L4 ANSWER 42 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Biochemical pharmacology and antitumor properties of 4-amino-8-[ $\beta$ -D-ribofuranosylamino]pyrimido[5,4-d]pyrimidine

L4 ANSWER 43 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Isothiazolopyrimidines - new group of anticancer agents. II

L4 ANSWER 44 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Synthesis and antiviral activity of certain 9- $\beta$ -D-ribofuranosylpurine-

6-carboxamides

L4 ANSWER 45 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Cancer-preventing and -treating medicine

L4 ANSWER 46 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Comparative pharmacology of three new nitrosoourea analogs: RFCNU, RPCNU, and chlorozotocin. I. Oncostatic effects in mice

L4 ANSWER 47 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI New analogs of streptozotocin

L4 ANSWER 48 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Animal corneas as tools for the testing of antiviral compounds

L4 ANSWER 49 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI The search for non-immunosuppressive oncostatic agents

L4 ANSWER 50 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Analogs of 8-azainosine

L4 ANSWER 51 OF 51 CAPLUS COPYRIGHT 2006 ACS on STN  
TI In vitro evaluation of the cytotoxic activity of nitrosooureas

=> s l4 not.py>2005  
1209008 PY>2005  
L5 35 L4 NOT PY>2005

=> d l5 1-35 ti

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Pharmaceutical composition containing angiogenesis inhibitor for treating solid tumor

L5 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Manufacture of drug composition containing angiogenesis inhibitor for treating tumor

L5 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Composition comprising nitric oxide synthase inhibitor and/or glutathione synthetase inhibitor for treatment of tumor

L5 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
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L5 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Anticancer implant composition for tumor local treatment

L5 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Sustained-release antitumor implant

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Combined anticancer medicines containing pyrimidine analogs and nitrosoourea drugs

L5 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Combined antitumor medicines containing guanine analogs and nitrosoourea drugs for the treatment of solid tumors

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Manufacture of anticancer medicinal composition containing topoisomerase inhibitors

L5 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN



TI    Manufacture of anticancer medicinal composition containing tetrazines

L5    ANSWER 11 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    Tiotropium-containing inhalant powder packaged in an inhaler with moisture-tight sealing

L5    ANSWER 12 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)

L5    ANSWER 13 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    QSAR for anti-RNA-virus activity, synthesis, and assay of anti-RSV carbonucleosides given a unified representation of spectral moments, quadratic, and topologic indices

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L5    ANSWER 20 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

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L5    ANSWER 21 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

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L5    ANSWER 22 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    Inhibition of 5-phosphoribosyl-1-pyrophosphate synthetase by the monophosphate metabolite of 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine: A novel mechanism for antitumor activity

L5    ANSWER 23 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    The problem of the quiescent cancer cell

L5    ANSWER 24 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    Structural mimicry of adenosine by the antitumor agents 4-methoxy- and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine as viewed by a molecular modeling method

L5    ANSWER 25 OF 35    CAPLUS    COPYRIGHT 2006 ACS on STN

TI    Inhibition of phosphoribosylpyrophosphate synthetase by 4-methoxy- (MRPP) and 4-amino-8-(D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (ARPP)

L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Biochemical pharmacology and antitumor properties of 4-amino-8-[β-D-ribofuranosylamino]pyrimido[5,4-d]pyrimidine

L5 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Isothiazolopyrimidines - new group of anticancer agents. II

L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Synthesis and antiviral activity of certain 9-β-D-ribofuranosylpurine-6-carboxamides

L5 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
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L5 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Comparative pharmacology of three new nitrosourea analogs: RFCNU, RPCNU, and chlorozotocin. I. Oncostatic effects in mice

L5 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI New analogs of streptozotocin

L5 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
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L5 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI The search for non-immunosuppressive oncostatic agents

L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Analogs of 8-azainosine

L5 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI In vitro evaluation of the cytotoxic activity of nitrosoureas

=> d 15 1 2 3 7 9 12 15 16 17 20 22 24 25 26 28 29 31 34 35 ti abs bib

L5 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Pharmaceutical composition containing angiogenesis inhibitor for treating solid tumor  
 AB The title composition contains angiogenesis inhibitor or mixture of angiogenesis inhibitor and anticancer agent (nitrosourea compound) as active component. The angiogenesis inhibitor can be selected from one or more of carboxyamidotriazole, thalidomide, linomide, angiostatin, endostatin, etc. The topical sustained-release of effective components can reduce systemic toxic reaction, selectively increase the drug level at the tumor site, and improve the therapeutic effect of non-operative therapy such as chemotherapy and radiotherapy.

AN 2006:586488 CAPLUS  
 DN 145:89926  
 TI Pharmaceutical composition containing angiogenesis inhibitor for treating solid tumor  
 IN Kong, Qingzhong; Sun, Juan  
 PA Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.  
 CODEN: CNXXEV  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1686556	A	20051026	CN 2005-10042265	20050406
PRAI	CN 2005-10042265		20050406		

L5 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Manufacture of drug composition containing angiogenesis inhibitor for treating tumor

AB The title composition contains tyrosine kinase inhibitor or a combination of tyrosine kinase inhibitor and nitrosourea antitumor agent as active component and auxiliary materials. The composition can effectively destroy tumor blood vessel, inhibit neovascularization, and promote penetration and diffusion of antitumor agents into the tumor tissues, therefore decreasing the tolerance of tumor tissues to nitrosourea antitumor agents. The auxiliary materials are composed of degradable and biocompatible polymers, which can achieve the sustained-release of antitumor agents specifically to tumor tissues, therefore decreasing the drug toxicity of whole body while maintaining necessary drug concentration on tumor tissues.

AN 2006:586483 CAPLUS

DN 145:130748

TI Manufacture of drug composition containing angiogenesis inhibitor for treating tumor

IN Kong, Qingzhong; Sun, Juan

PA Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 20 pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1686546	A	20051026	CN 2005-10042264	20050406
PRAI	CN 2005-10042264		20050406		

L5 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Composition comprising nitric oxide synthase inhibitor and/or glutathione synthetase inhibitor for treatment of tumor

AB The title composition comprises nitric oxide synthase inhibitor and/or glutathione synthetase inhibitor, and optionally nitrosourea anticancer drugs (alestramustine, streptozotocin, atrimustine, etc.) or analogs thereof, and biocompatible and biodegradable polymer (polylactic acid, copolymer of lactic acid and glycolic acid, etc.) as pharmaceutical adjuvant. The inhibitors can inhibit DNA repair in cells to reduce tolerance of tumor cell to nitrosourea anticancer drugs or analogs thereof. The composition can be placed at the tumor site to reduce systemic toxic reaction, and to selectively increase the drug level at the tumor site so as to improve the therapeutic effect of non-operative therapy such as chemotherapy and radiotherapy.

AN 2006:547679 CAPLUS

DN 145:51001

TI Composition comprising nitric oxide synthase inhibitor and/or glutathione synthetase inhibitor for treatment of tumor

IN Kong, Qingzhong; Sun, Juan; Liu, Enxiang; Zhang, Jie

PA Shandong Lanjin Biotech Co., Ltd., Peop. Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1679952	A	20051012	CN 2005-10042437	20050203
PRAI	CN 2005-10042437		20050203		

L5 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Combined anticancer medicines containing pyrimidine analogs and nitrosourea drugs

AB The title medicines contain 0.01-70% pyrimidine analogs or its derivs., 0-50% nitrosourea compds., and pharmaceutical auxiliary materials. The medicines can inhibit DNA repair in tumor cells, and reduce the drug resistance of tumor cells to nitrosourea anticancer drugs. The

pharmaceutical auxiliary materials are biocompatible and biodegradable polymer, which can slowly release the anticancer active ingredients at the tumor site during the biodegradn. and absorption process so as to reduce the systemic toxic reaction while maintaining effective levels of the drugs at the tumor site. The medicines can be placed at the tumor site to improve the therapeutic effect of non-operative therapy such as chemotherapy and radiotherapy.

AN 2006:39408 CAPLUS  
 DN 144:239895  
 TI Combined anticancer medicines containing pyrimidine analogs and nitrosourea drugs  
 IN Kong, Qingzhong  
 PA Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 21 pp.  
 CODEN: CNXXEV  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1628853	A	20050622	CN 2004-10035929	20041014
PRAI	CN 2004-10035929		20041014		

L5 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Manufacture of anticancer medicinal composition containing topoisomerase inhibitors

AB The title composition contains nitrosourea anticancer drugs (0.00-40 weight%) and topoisomerase inhibitors (0.01-50 weight%) enveloped in the medicinal adjuvant. Topoisomerase inhibitors can inhibit DNA repair in cells, and reduce the tolerance of tumor cells to nitrosourea anticancer drugs. The medicinal adjuvant is biocompatible and degradable polymer, which can slowly release the anticancer active components at the tumor site during the degradation and absorption process so as to reduce the systemic toxic reaction while maintaining effective levels of the drugs at the tumor site. The composition can be placed at the tumor site to reduce systemic toxic reaction of nitrosourea anticancer drugs and topoisomerase inhibitor, and also selectively increase the drug level at the tumor site so as to improve the therapeutic effect of non-operative therapy such as chemotherapy and radiotherapy.

AN 2005:1257943 CAPLUS  
 DN 144:135174  
 TI Manufacture of anticancer medicinal composition containing topoisomerase inhibitors  
 IN Kong, Qingzhong  
 PA Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 23 pp.  
 CODEN: CNXXEV  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1616099	A	20050518	CN 2004-10035927	20041014
PRAI	CN 2004-10035927		20041014		

L5 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)

AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

AN 2005:216597 CAPLUS  
 DN 142:291323  
 TI Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)  
 IN Hardee, Greg; Dellamary, Luis  
 PA Isis Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 217 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005020885	A2	20050310	WO 2004-US16196	20040521
	WO 2005020885	A3	20050804		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2003-472774P	P	20030521		

L5 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders  
 AB Methods and compns. of identifying candidate compds., for modulating fat metabolism and/or inhibiting Apobec-1 activity are provided. The invention relates to compds. and pharmaceutical compns. which are useful for regulating fat metabolism and can be used for treatment of diseases and disorders selected from the group consisting of overweight, obesity, atherosclerosis, hypertension, non-insulin dependent diabetes mellitus, pancreatitis, hypercholesteremia, hypertriglyceridemia, hyperlipidemia.

AN 2004:368857 CAPLUS  
 DN 140:386000  
 TI Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders  
 IN Gaudriault, Georges; Kilinc, Ahmet; Bousquet, Olivier; Goupil-Lamy, Anne; Harosh, Itzik  
 PA Obetherapy Biotechnology, Fr.  
 SO PCT Int. Appl., 461 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037159	A2	20040506	WO 2003-IL860	20031023
	WO 2004037159	A3	20040715		
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	RW:				
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AU	2003274652	A1	20040513	AU 2003-274652	20031023

PRAI US 2002-420316P P 20021023  
WO 2003-IL860 W 20031023  
OS MARPAT 140:386000

L5 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Use of nucleoside compounds for nonsense suppression and the treatment of genetic diseases

AB The invention encompasses nucleoside compds., compns. comprising the compds. and methods for treating or preventing diseases associated with nonsense mutations of mRNA by administering these compds. or compns. Diseases that can be treated or prevented by compds. of the invention include, but are not limited to, cancer, autoimmune diseases, blood diseases, collagen diseases, diabetes, neurodegenerative diseases, cardiovascular diseases, pulmonary diseases, inflammatory diseases, lysosomal storage disease, tuberous sclerosis or central nervous system diseases. The present invention is based in part on the discovery of small mols. that modulate premature translation termination and/or nonsense-mediated mRNA decay.

AN 2004:80704 CAPLUS  
DN 140:122839

TI Use of nucleoside compounds for nonsense suppression and the treatment of genetic diseases

IN Wilde, Richard G.; Almstead, Neil G.; Welch, Ellen M.; Beckmann, Holger

PA PTC Therapeutics, Inc., USA; Tularik Inc.

SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

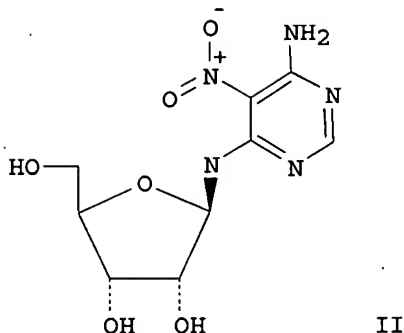
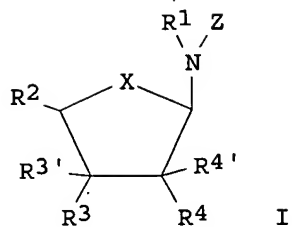
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009610	A2	20040129	WO 2003-US23185	20030723
	WO 2004009610	A3	20051006		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2493816	AA	20040129	CA 2003-2493816	20030723
	AU 2003261237	A1	20040209	AU 2003-261237	20030723
	EP 1572709	A2	20050914	EP 2003-766015	20030723
	EP 1572709	A3	20051123		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRAI US 2002-398334P P 20020724  
WO 2003-US23185 W 20030723

OS MARPAT 140:122839

L5 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
TI Preparation of nucleoside analogs and their use for treating cancer and diseases associated with somatic mutations of mRNA

GI



AB Nucleoside analogs I, where Z is alkyl, aryl, heteroaryl, cycloalkyl, heterocyclo, arylalkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkyl, arylcarbonyl; X is CH, O, S or NH; R1 is hydrogen, alkyl, aryl, heteroaryl, cycloalkyl, heterocyclo, arylalkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkyl; R2 is alkyl, carboxy, amido, acyl, alkylcarbonyl, halogen, bio-hydrolyzable group, OP(O)<sub>3</sub><sup>2-</sup>, O[P(O)<sub>3</sub>]<sup>23-</sup>, O[P(O)<sub>3</sub>]<sup>34-</sup>, N3, substitute aminomethyl, alkoxyethyl; R3, R3', R4 and R4' are independently alkoxy, hydrogen, halogen, alkyl, aryl, heteroaryl, cycloalkyl, heterocyclo, arylalkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkyl, arylcarbonyl, alkylcarbonyl, a bio-hydrolyzable group, or R3 and R4 taken together form a bond, or together with the atoms to which they are attached form a heterocyclo, or R3 and R3' and/or R4 and R4' taken together with the carbon to which they are attached form C(O); were prepared for treating or preventing diseases associated with nonsense mutations of mRNA. Thus, nucleoside analog was prepared and tested in mice as antitumor agent. The present invention encompasses the in vitro or in vivo use of a compound of the invention, and the incorporation of a compound of the invention into pharmaceutical compns. and single unit dosage forms useful in the treatment and prevention of a variety of diseases and disorders. Specific diseases and disorders include those ameliorated by the suppression of a nonsense mutation in mRNA.

AN 2004:80703 CAPLUS

DN 140:128608

TI Preparation of nucleoside analogs and their use for treating cancer and diseases associated with somatic mutations of mRNA

IN Wilde, Richard G.; Kennedy, Paul D.; Almstead, Neil G.; Welch, Ellen M.; Takasugi, James J.; Friesen, Westley J.

PA PTC Therapeutics, Inc., USA

SO PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009609	A2	20040129	WO 2003-US23184	20030723
	WO 2004009609	A3	20041021		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004067900	A1	20040408	US 2003-625059	20030722

CA 2493815	AA	20040129	CA 2003-2493815	20030723
AU 2003254158	A1	20040209	AU 2003-254158	20030723
EP 1534726	A2	20050601	EP 2003-766014	20030723

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRAI US 2002-398334P P 20020724  
US 2003-625059 A 20030722  
WO 2003-US23184 W 20030723

OS MARPAT 140:128608

L5 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Cytotoxicity and metabolism of 4-methoxy-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine in HCT 116 colon cancer cells

AB We examined the cytotoxicity, biochem. effects and metabolism of 4-methoxy-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (MRPP), a synthetic nucleoside inhibitor of phosphoribosylpyrophosphate synthetase, in HCT 116 human colorectal cancer cells. A 4-h exposure to 1 and 10  $\mu$ M MRPP inhibited cell growth over a 72-h period by 76 and 89%, and inhibited clonogenic capacity by 36 and 65%, resp., MRPP was avidly metabolized to the 5'-monophosphate derivative (MRPP-MP), and MRPP-MP formation increased with increasing MRPP exposure ( $\mu$ M.hr). MRPP-MP was stable, and the intracellular half-life was in excess of 48 h. A 4-h exposure to 10  $\mu$ M MRPP resulted in significant decreases in ATP, UTP, GTP, CTP, dATP, dTTP, and PRPP pools. Near maximal ribonucleotide triphosphate depletion was achieved with  $\geq 24$   $\mu$ M.hr MRPP, and growth inhibition as a function of MRPP  $\mu$ M.hr closely reflected the biochem. effects. Ribonucleotide triphosphate pools remained depleted for up to 48 h after drug removal, apparently as a consequence of the prolonged retention of MRPP-MP, MRPP (10  $\mu$ M) inhibited the salvage of [3H]guanine, [3H]-adenine and [3H]guanosine, and concurrent exposure to MRPP and either 100  $\mu$ M adenine, hypoxanthine, or guanine did not reverse ATP or GTP depletion. Concurrent exposure to 10  $\mu$ M MRPP and either 10  $\mu$ M adenosine, uridine or thymidine was accompanied by repletion of ATP, UTP, and dTTP pools, resp., but depletion of other nucleotide pools was not corrected. In contrast, 10  $\mu$ M guanosine did not correct GTP depletion in the presence of MRPP. The combination of 10  $\mu$ M each of thymidine, uridine, adenosine and guanosine during and following a 24-h exposure to MRPP provided partial protection against 0.1 or 1  $\mu$ M MRPP, but did not affect the cytotoxicity associated with 10  $\mu$ M MRPP. MRPP is a novel antimetabolite that inhibits both de novo and salvage pathways for purine synthesis and de novo pyrimidine synthesis.

AN 1995:266715 CAPLUS

DN 122:95951

TI Cytotoxicity and metabolism of 4-methoxy-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine in HCT 116 colon cancer cells

AU Grem, Jean L.; Daychild, Pamela; Drake, James; Geoffroy, Francois; Trepel, Jane B.; Pirnia, Farzaneh; Allegra, Carmen J.

CS NCI-Navy Med. Oncology Branch Clinical Pharmacology Branch, Clinical Oncology Program, Bethesda, MD, USA

SO Biochemical Pharmacology (1994), 48(11), 2117-26

CODEN: BCPCA6; ISSN: 0006-2952

PB Elsevier

DT Journal

LA English

L5 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Inhibition of 5-phosphoribosyl-1-pyrophosphate synthetase by the monophosphate metabolite of 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine: A novel mechanism for antitumor activity

AB The aminopyrimidopyrimidine nucleoside 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (APP), which was previously shown to possess exptl. antitumor and antiviral activity, was metabolized within W1-L2 human lymphoblastoid cells to a derivative identified as the  $\beta$ -D-ribonucleotide (APP-MP). In a subline of W1-L2 cells deficient



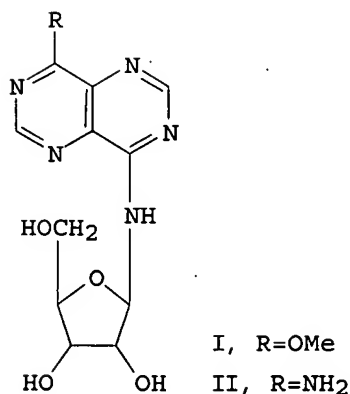
in adenosine kinase, this metabolite was not formed and APP was not cytotoxic, suggesting that APP is converted by adenosine kinase to its 5'-monophosphate. Because no evidence of di- or triphosphates was seen, the monophosphate appeared to be the active species. Treatment of W1-L2 or L1210 cells with APP (10  $\mu$ M) for 30 min caused extensive depletion of both purine and pyrimidine ribonucleotides. Purine and pyrimidine deoxyribonucleotides were also depleted. Cells were not protected from the cytotoxicity of APP by hypoxanthine plus uridine, but uridine plus adenosine plus 2-deoxycoformycin gave considerable protection. This result was consistent with APP-MP acting as an inhibitor of 5-phosphoribosyl-1-pyrophosphate (PRPP) synthetase, a hypothesis that was confirmed by preparing PRPP synthetase from Novikoff hepatoma cells; APP-MP was a noncompetitive inhibitor, with a  $K_i$  of 0.43 mM. APP-MP was found to accumulate in APP-treated cells to a concentration of almost 3 mM. The

relevance

of PRPP synthetase inhibition to the cytotoxic mechanism of APP is indicated by the fact that depletion of the PRPP pool was seen as early as 15 min after treatment, before any change was apparent in cellular levels of ATP or UTP. DNA synthesis was markedly suppressed within 30 min of APP treatment of W1-L2 cells, and a lesser degree of inhibition of RNA synthesis was apparent after 45 min.

AN 1994:23136 CAPLUS  
 DN 120:23136  
 TI Inhibition of 5-phosphoribosyl-1-pyrophosphate synthetase by the monophosphate metabolite of 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine: A novel mechanism for antitumor activity  
 AU Fry, David W.; Boritzki, Theodore J.; Jackson, Robert C.; Cook, P. Dan; Leopold, Wilbur R.  
 CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA  
 SO Molecular Pharmacology (1993), 44(2), 479-85  
 CODEN: MOPMA3; ISSN: 0026-895X  
 DT Journal  
 LA English

L5 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Structural mimicry of adenosine by the antitumor agents 4-methoxy- and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine as viewed by a molecular modeling method  
 GI



AB A rationale for the antitumor activity of 4-methoxy- and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido-[5,4-d]pyrimidine (I and II, resp.) was studied by a mol. modeling method. Although these

nucleoside analogs are structurally different from adenosine, they act at substrates for adenosine kinase. The mol. modeling method, which considered the 3-dimensional structure and atom-based physicochem. properties of the nucleosides to quantify the mol. similarities, showed that certain low-energy conformations of the  $\beta$  anomers of a series of nucleosides including I, II, and their 4-hydroxy, 4-amino-6-chloro, 4-methylthio-2,6-dichloro, 4,6-diamino, 4-dimethylamino, 4-methylamino, and 4-hydroxy-2,6-dichloro analogs have remarkable structural similarity to adenosine. The method also suggested that the selection of the reference compound adenosine in the structural comparison is of primary importance to gain insight into the observed antitumor activity. The success of the present method led to AM1 (Austin model I) MO calcns. and exptl. studies indicating that the antitumor activity of the  $\alpha$  anomer of II is probably due to equilibrium to the  $\beta$  anomer. The AM1 calcn. of the protonation energy of N5 of pyrimido[5,4-d]pyrimidines, which occupies the same position in space as the N1 of adenosine, gave a direct correlation between the basicity of the nitrogen with a lone pair of electrons and the observed antitumor activity.

AN 1990:69408 CAPLUS

DN 112:69408

TI Structural mimicry of adenosine by the antitumor agents 4-methoxy- and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine as viewed by a molecular modeling method

AU Ghose, Arup K.; Viswanadhan, Vellarkad N.; Sanghvi, Yogesh S.; Dee Nord, L.; Willis, Randall C.; Revankar; Ganapathi R.; Robins, Roland K.

CS ICN Nucleic Acid Res. Inst., Costa Mesa, CA, 92626, USA

SO Proceedings of the National Academy of Sciences of the United States of America (1989), 86(21), 8242-6

CODEN: PNASA6; ISSN: 0027-8424

DT Journal

LA English

L5 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Inhibition of phosphoribosylpyrophosphate synthetase by 4-methoxy- (MRPP) and 4-amino-8-(D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (ARPP)

AB The basis for the antitumor activities of the title exocyclic amino nucleosides was investigated. The primary target of these nucleosides appeared to be 5-phospho- $\alpha$ -D-ribofuranose-1-pyrophosphate (PRPP) synthetase. MRPP 5'-monophosphate was a competitive inhibitor of the activation of this enzyme by the cofactor inorg. phosphate. Consequently, ARPP and MRPP treatment of WI-L2 cultures rapidly inhibited both de novo pyrimidine and purine synthesis as well as the nucleotide salvage reactions dependent on PRPP. ARPP or MRPP treatment completely prevented H14CO<sub>3</sub>-incorporation into acid-soluble pyrimidine and purine nucleotides. The rate of salvage of [8-14C]hypoxanthine to form IMP was decreased 85%. Treatment of cells with these agents caused a 50% reduction in the steady-state level of PRPP. When the capacity of the treated cells for sustained synthesis of PRPP was examined by adenine incorporation, the rate of adenine uptake was inhibited by >50%. In vitro treatment of BDF1 mice with a single dose of ARPP (173 mg/kg) or MRPP (62 mg/kg) extended the mean life span of the mice, which had been inoculated i.p. 1 day earlier with 1 + 10<sup>6</sup> L1210 murine leukemia cells, by 62 and 82%, resp. These studies indicate that MRPP and ARPP inhibit PRPP synthetase, and that PRPP synthetase may be a viable target in the development of certain antitumor agents.

AN 1990:48363 CAPLUS

DN 112:48363

TI Inhibition of phosphoribosylpyrophosphate synthetase by 4-methoxy- (MRPP) and 4-amino-8-(D-ribofuranosylamino)pyrimido[5,4-d]pyrimidine (ARPP)

AU Nord, L. Dee; Willis, Randall C.; Breen, Timothy S.; Avery, Thomas L.; Finch, Rick A.; Sanghvi, Yogesh S.; Revankar, Ganapathi R.; Robins, Roland K.

CS Dep. Biochem., ICN Nucleic Acid Res. Inst., Costa Mesa, CA, 92626, USA

SO Biochemical Pharmacology (1989), 38(20), 3543-9

CODEN: BCPA6; ISSN: 0006-2952

DT Journal  
LA English

L5 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Biochemical pharmacology and antitumor properties of 4-amino-8-[ $\beta$ -D-ribofuranosylamino]pyrimido[5,4-d]pyrimidine

AB Exptl. chemotherapy, cell culture, and mechanism-of-action studies of the title compound (NSC 283867; I) are presented. DBA/2 and CD2F1 mice bearing L 1210 leukemia cells, as well as C3H and B6C3F1 mice bearing mammary carcinoma 16/c were used. In vitro effects of I and the 5'-phosphate of I (II) were studied in L1210, WI-L2, HCT-8, B16, HL-60, CHO-K1, P388, colon carcinoma 26, CCRF-CEM, and MCF-7 cell lines. The antileukemia IC50 values were 0.402 and 0.255 (for I and II, resp.), and the anticarcinoma values were 0.949 and 0.548. I is activated by adenosine kinase to II; then II inhibits ribose-5-phosphate pyrophosphokinase (EC 2.7.6.1; PRPP synthetase), and depletes cellular PRPP and purine and pyrimidine nucleotides. I inhibits synthesis of DNA and RNA, and blocks cells in the G1 phase of the cell cycle. I retains full activity against multiply drug resistant cells and is equally active against quiescent and proliferating CHO cells. I has only weak activity against L1210 leukemia in vivo, but has substantial activity against mammary carcinoma 16/c. In vitro, I has a relatively high ratio (2.4) of solid tumor:leukemia activity.

AN 1989:608779 CAPLUS

DN 111:208779

TI Biochemical pharmacology and antitumor properties of 4-amino-8-[ $\beta$ -D-ribofuranosylamino]pyrimido[5,4-d]pyrimidine

AU Jackson, Robert C.; Boritzki, Theodore J.; Cook, P. Dan; Hook, Kenneth E.; Leopold, Wilbur R.; Fry, David W.

CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA

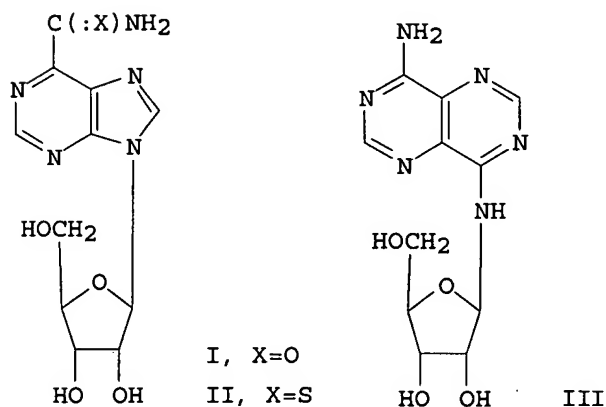
SO Advances in Enzyme Regulation (1989), 28, 185-99  
CODEN: AEZRA2; ISSN: 0065-2571

DT Journal  
LA English

L5 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Synthesis and antiviral activity of certain 9- $\beta$ -D-ribofuranosylpurine-6-carboxamides

GI



AB Ribofuranosylpurines were synthesized and tested for antiviral efficacy against several RNA and DNA viruses in cell culture and against Rift Valley fever virus in mice. 9 $\beta$ -D-Ribofuranosylpurine-6-carboxamide (I) [65134-53-4], its 6-thiocarboxamide (II) [78131-47-2], and 4-amino-8-( $\beta$ -D-ribofuranosylamino)pyrido[5,4-d]pyrimidine (III)

[50663-92-8] had significant in vitro antiviral activity at nontoxic doses. I (50 mg/kg/day) also had antiviral activity in mice infected with Rift Valley fever virus (55% survival rate on day 21 compared to 30% in controls).

AN 1981:473399 CAPLUS

DN 95:73399

TI Synthesis and antiviral activity of certain 9-β-D-ribofuranosylpurine-6-carboxamides

AU Westover, James D.; Revankar, Ganapathi R.; Robins, Roland K.; Madsen, Randall D.; Ogden, John R.; North, James A.; Mancuso, Robert W.; Rousseau, Robert J.; Stephen, Edward L.

CS Cancer Res. Cent., Brigham Young Univ., Provo, UT, 84602, USA

SO Journal of Medicinal Chemistry (1981), 24(8), 941-6

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

L5 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI Cancer-preventing and -treating medicine

AB 2,3,4,5,6-Penta-O-acetylgluconyl isothiocyanate (I) [58314-42-4], alone or with related compds., inhibited 2-fluorenylacetamide-induced tumors in mice, diethylnitrosamine-induced cancer in aquarium fish, and 3'-methyl-4-dimethylaminoazobenzene-induced cancers in rats.

AN 1981:435764 CAPLUS

DN 95:35764

TI Cancer-preventing and -treating medicine

IN Enomoto, Makoto; Doke, Nobumichi

PA Nitto Chemical Industry Co., Ltd., Japan; Chemisciences Inc.

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA English

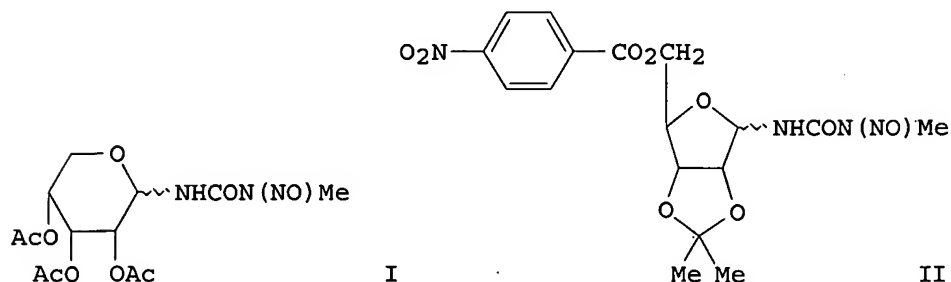
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 24202	A1	19810225	EP 1980-302800	19800813
	EP 24202	B1	19830720		
	R: CH, DE, FR, GB, IT				
	JP 56039018	A2	19810414	JP 1979-104091	19790817
	JP 63028886	B4	19880610		
	CA 1152432	A1	19830823	CA 1980-357953	19800811
	US 4357349	A	19821102	US 1980-178422	19800815
PRAI	JP 1979-104091	A	19790817		
OS	CASREACT 95:35764				

L5 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

TI New analogs of streptozotocin

GI

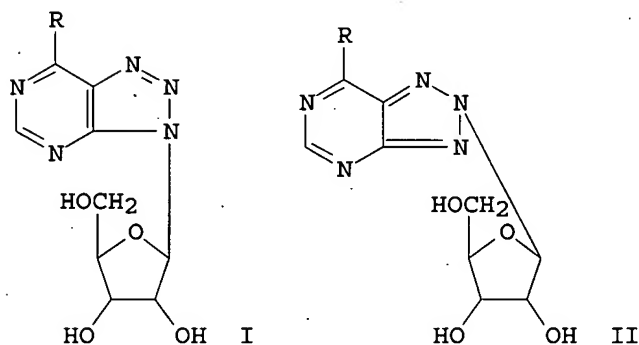


AB 1'-(3-Methyl-3-nitrosoureido)-2',3',4'-tri-O-acetyl-β-D-ribofuranose (I) [69610-48-6] and 1'-(3-methyl-3-nitrosoureido)-2',3'-O-isopropylidene-

5'-(O-p-nitrobenzoyl)- $\alpha$  and  $\beta$ -D-ribofuranose (II) [69584-54-9] had greater antitumor activity than streptozotocin against L 1210 leukemia in mice and were less toxic than streptozotocin. The optimal i.p. doses of I and II were 240 and 600 mg/kg, resp. The syntheses of I and II and of several of their precursors are reported.

AN 1979:145679 CAPLUS  
 DN 90:145679  
 TI New analogs of streptozotocin  
 AU Moruzzi, Aurelio; Montero, Jean Louis; Oiry, Joel; Imbach, Jean Louis  
 CS Lab. Chim. Bio-Org., Univ. Sci. Tech. Languedoc, Montpellier, Fr.  
 SO European Journal of Medicinal Chemistry (1978), 13(5), 421-4  
 CODEN: EJMCA5; ISSN: 0009-4374  
 DT Journal  
 LA French

L5 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI Analogs of 8-azainosine  
 GI



AB Reaction of 8-aza-6-(methylthio)purine [6332-11-2] with 2,3,5-tri-O-acetyl-D-ribofuranosyl chloride [40554-98-1] gave a mixture of position isomers which was chromatog. separated and appropriately treated to give 8 I (R = NH<sub>2</sub>, SMe, SEt, OMe, OEt, NHBu, NHCH<sub>2</sub>CH:CM<sub>2</sub>, SH) and 2 II (R = NH<sub>2</sub>, SMe). All I inhibited the growth of H.Ep. Number 2 cells in culture while II were inactive. The most active compound was 7-(methylthio)-3-beta-D-ribofuranosyl-3H-1,2,3-triazolo[4,5-d]pyrimidine (I, R = SMe) [61038-38-8]. Three I and a rearrangement product of the thiol (I, R = SH) [38874-48-5], N-beta-D-ribofuranosyl[1,2,3]thiadiazolo[5;4-d]pyrimidin-7-amine [61038-43-5], had antileukemic activity.

AN 1977:25937 CAPLUS  
 DN 86:25937  
 TI Analogs of 8-azainosine  
 AU Elliott, Robert D.; Montgomery, John A.  
 CS Kettering-Meyer Lab., South. Res. Inst., Birmingham, AL, USA  
 SO Journal of Medicinal Chemistry (1977), 20(1), 116-20  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English

L5 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 TI In vitro evaluation of the cytotoxic activity of nitrosooureas  
 GI For diagram(s), see printed CA Issue.  
 AB Of the 5 nitrosooureas tested using the proposed neoplasm inhibitor screening method, only 1-(2-chloroethyl)-3-(2',3'-isopropylideneribofuranosyl-5'-p-nitrobenzoate)-1-nitrosoourea (I) [54138-84-0] and 1-(2-chloroethyl)-3-(2'-deoxyglucopyranosyl-1',3',4',6'-tetraacetate)-1-nitrosoourea [54275-78-4] showed activity that was equal to or greater than clin. used nitrosooureas. The proposed method involved the counting of <sup>51</sup>Cr [14392-02-0] released by lysis of prelabeled HeLa-S3

cells incubated with the test compound  
 AN 1975:118802 CAPLUS  
 DN 82:118802  
 TI In vitro evaluation of the cytotoxic activity of nitrosoureas  
 AU Serrou, Bernard; Delor, Bernard; Reme, Thierry; Montero, Jean L.; Imbach, Jean L.  
 CS Dep. Immunol. Clin. Exp., Hop. St-Eloi, Montpellier, Fr.  
 SO Comptes Rendus des Seances de l'Academie des Sciences, Serie D: Sciences Naturelles (1974), 279(8), 703-6  
 CODEN: CHDDAT; ISSN: 0567-655X  
 DT Journal  
 LA French

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L1 STRUCTURE UPLOADED  
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 L3 2521 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:50:16 ON 04 DEC 2006

L4 51 S L3/THU  
 L5 35 S L4 NOT PY>2005

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